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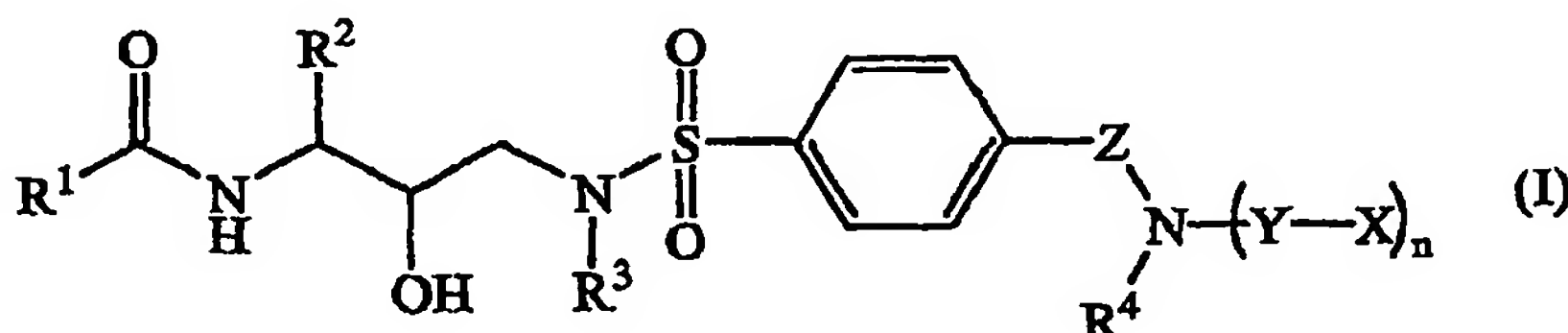
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[Continued on next page]

(54) Title: HIV PRODRUGS CLEAVABLE BY CD26



(57) Abstract: The present invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide wherein the conjugate is cleavable by dipeptidyl-peptidases, more preferably by CD26, also known as DPPIV (dipeptidyl aminodi-peptidase IV). The present prodrugs have the formula

(I), the stereoisomeric forms and salts thereof, wherein n is 1 to 5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thiopline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine; X is selected from any amino acid in the D- or L-configuration; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R¹ is an aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyC₁₋₄alkyl, heterocycloalkyloxy, heterocycloalkylC₁₋₄alkoxy, heteroaryloxyC₁₋₄alkyl, heteroarylC₁₋₄alkyloxy; R² is arylC₁₋₄alkyl; R³ is C₁₋₁₀alkyl, C₂₋₆alkenyl or C₃₋₇cycloalkylC₁₋₄alkyl; R⁴ is hydrogen or C₁₋₄alkyl. The present invention furthermore provides the use of said prodrugs as medicines as well as a method of producing said prodrugs.



— *with sequence listing part of description published separately in electronic form and available upon request from the International Bureau*

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B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

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Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EP0-Internal, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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